* * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

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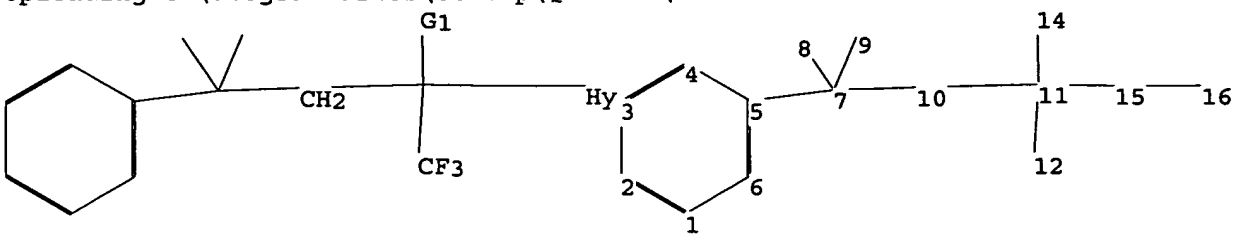


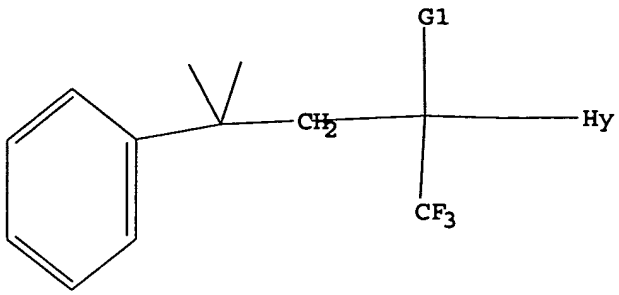
chain nodes :
7 8 9 10 11 12 14 15 16
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 7-8 7-9 7-10 10-11 11-12 11-14 11-15 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
11-14 15-16
exact bonds :
5-7 7-8 7-9 7-10 10-11 11-12 11-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:OH,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 OH,N

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full
L3          629 SEA SSS FUL L1

=> file ca


=> s l3
L4            7 L3

=> d ibib abs fhitstr 1-7
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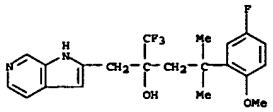
L4 ANSWER 1 OF 7 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 144:184662 CA
TITLE: Anti-aromatase compounds, pharmaceutical compositions, and use in the treatment of estrogen receptor-mediated disorders, including breast cancer and other cancers
INVENTOR(S): Nelson, Richard More; Liu, Pingrong; Proudfoot, John Robert; Riether, Doris; Harcken, Christian Hanke Justus Joachim; Thomson, David S.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 43 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006030608	A1	20060209	US 2005-137281	20050525
PRIORITY APPLN. INFO.:			US 2004-598612P P	20040804

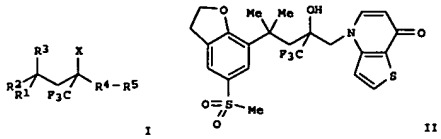
OTHER SOURCE(S): MARPAT 144:184662
AB The invention discloses aryl and heteroaryl alc. compds. (Markush included), or a tautomer, prodrug, solvate, or salt thereof, pharmaceutical compns. containing such compds., and methods for modulating estrogen receptor activity in a cell or patient or treating an estrogen receptor-mediated disorder, particularly breast and other cancers, in a patient in need thereof by administering an effective amount of compound of the invention.
IT 609850-97-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-aromatase compds., pharmaceutical compns., and use in treatment of estrogen receptor-mediated disorders)
RN 609850-97-7 CA
CN 1H-Pyrrolo[2,3-c]pyridine-2-ethanol, α-[2-(5-fluoro-2-methoxyphenyl)-2-methylpropyl]-α-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 7 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:367290 CA
TITLE: Preparation of α-trifluoromethyl alcohols or amines as glucocorticoid mimetics
INVENTOR(S): Regan, John Robinson; Lee, Thomas Wai-Ho; Thomson, David; Kirrane, Thomas Martin; Kuzmich, Daniel; Proudfoot, John Robert; Bekkali, Younes; Zindell, Renee
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005095401	A1	20051013	WO 2005-US6975	20050304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005234091	A1	20051020	US 2005-72819	20050304
PRIORITY APPLN. INFO.:			US 2004-555220P P	20040322

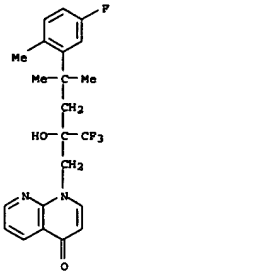
OTHER SOURCE(S): MARPAT 143:367290
GI



I II

AB Title compds. I [R1 = (hetero)aryl, cycloalkyl, etc.; R2-3 = H, alkyl, arylalkyl, etc.; R4 = CO, divalent alkyl; R5 = 5-7 membered heterocyclyl ring fused to a 5-7 membered heteroaryl/heterocyclyl ring with one exception; X = OH, (un)substituted amino] are prepared For instance, 1,1,1-trifluoro-4-methyl-4-(5-methylsulfanyl-2,3-dihydrobenzofuran-7-

L4 ANSWER 2 OF 7 CA COPYRIGHT 2006 ACS on STN (Continued)
yl)pentan-2-one is converted to the corresponding oxirane (DMSO, Me3SOI, NaH). This intermediate is oxidized to the methanesulfonyl analog and finally reacted with thieno[3,2-b]pyridin-7-ol (EtOH, NaOEt) to give II. Selected compds. of the invention exhibit potent activity in the glucocorticoid receptor binding assay. I are useful for the treatment of diabetes and cardiovascular diseases.
IT 866112-70-1P, 1-[4-(5-Fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1H-[1,8]naphthyridin-4-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of naphthyridine-derived α-trifluoromethyl alcs. or amines and analogs as glucocorticoid mimetics)
RN 866112-70-1 CA
CN 1,8-Naphthyridin-4(1H)-one, 1-[4-(5-fluoro-2-methylphenyl)-2-hydroxy-4-methyl-2-(trifluoromethyl)pentyl]- (9CI) (CA INDEX NAME)

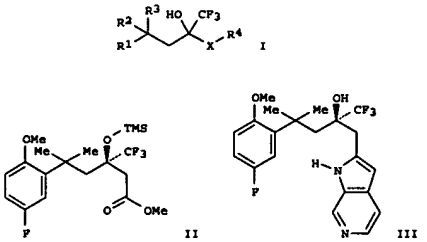


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 7 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:325974 CA
TITLE: Stereoselective synthesis of certain trifluoromethyl-substituted alcohols
INVENTOR(S): Song, Jinhua J.; Tan, Zhulin; Yee, Nathan K.; Senanayake, Chris Hugh; Xu, Jinghua; Gallou, Fabrice
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005209488	A1	20050922	US 2005-70462	20050302
WO 2005090343	A1	20050929	WO 2005-US6998	20050304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2004-554266P P	20040318

OTHER SOURCE(S): CASREACT 143:325974; MARPAT 143:325974
GI

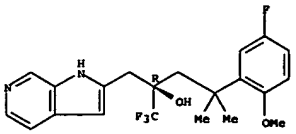


I II III

AB A process for stereoselective synthesis of I [R1 = (un)substituted aryl or heteroaryl; R2 and R3 = H or alkyl, or together from a spirocycle ring; X = (un)substituted alkyl, alkenyl, or alkynyl; R4 = (un)substituted

L4 ANSWER 3 OF 7 CA COPYRIGHT 2006 ACS on STN (Continued)
heteroaryl group] employing a chiral indane to control stereoselectivity with a novel ester to azaindole reaction in the last step. For example, the ester II (prepn. given) was reacted with 3-amino-4-picoline to provide
the chiral alc. III in the direct ester to azaindole reaction step.
IT 865200-60-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective prepn of trifluoromethyl-substituted alcs. employing a
chiral indane reactant)
RN 865200-60-8 CA
CN 1H-Pyrrolo[2,3-c]pyridine-2-ethanol, α-[2-(5-fluoro-2-methoxyphenyl)-2-methylpropyl]-α-(trifluoromethyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

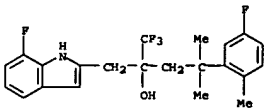


L4 ANSWER 4 OF 7 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:373815 CA
TITLE: Preparation of hydroxytrifluoromethylalkylpyrrolopyridines, -indoles, and related compounds as modulators of glucocorticoid receptor function
INVENTOR(S): Bekkali, Younes; Betageri, Rajashehar; Emmanuel, Michael J.; Hammach, Abdelhakim; Harcken, Hanke Justus Joachim; Kirrane, Thomas Martin; Kuzmich, Daniel; Lee, Thomas Wai-ho; Liu, Pingrong; Patel, Usha R.; Razavi, Hossein; Riether, Doris; Takahashi, Hidenori; Thomson, David S.; Wang, Ji; Zindell, Renee; Proudfoot, John Robert
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 549 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030213	A1	20050407	WO 2004-US31009	20040922
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2539909	AA	20050407	CA 2004-2539909	20040922
US 2005176706	A1	20050811	US 2004-947420	20040922
PRIORITY APPLN. INFO.:			US 2003-505456P	P 20030924
			US 2003-507079P	P 20030929
			WO 2004-US31009	W 20040922

OTHER SOURCE(S): MARPAT 142:373815
AB Title compds., e.g. R1R2R3CCH2C(OH)CF3R4R5 [R1 = (substituted) aryl, heteroaryl; R2, R3 = H, alkyl; R2R3C = atoms to form a C3-8 spiro cycloalkyl ring; R4 = (substituted) alkyl, alkenyl, alkynyl; R5 = substituted heteroaryl], were prepared for treatment of inflammatory, allergic, or proliferative processes (no data). Thus, N-[4-[6-(5-chloro-2,3-dihydrobenzofuran-7-yl)-4-hydroxy-6-methyl-4-trifluoromethylhept-1-ynyl]-2-isopropylpyrimidin-5-yl]-2,2,2-trifluoroacetamide (preparation given) and tetramethylguanidine were heated in

L4 ANSWER 4 OF 7 CA COPYRIGHT 2006 ACS on STN (Continued)
dioxane at 100° for 1 h to give 4-(5-chloro-2,3-dihydrobenzofuran-7-yl)-1,1,1-trifluoro-2-(2-isopropyl-5H-pyrrolo[3,2-d]pyrimidin-6-ylmethyl)-4-methylpentan-2-ol.
IT 609850-91-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxytrifluoromethylalkylpyrrolopyridines, -indoles, and related compds. as modulators of glucocorticoid receptor function)
RN 609850-91-1 CA
CN 1H-Indole-2-ethanol, 7-fluoro-α-[2-(5-fluoro-2-methylphenyl)-2-methylpropyl]-α-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 7 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:140466 CA
TITLE: Preparation of propanol and propylamine derivatives and their use as glucocorticoid ligands
INVENTOR(S): Proudfoot, John Robert; Regan, John Robinson; Thomson, David S.; Kuzmich, Daniel; Lee, Thomas Wai-ho; Hammach, Abdelhakim; Ralph, Mark Stephen; Zindell, Renee; Bekkali, Younes
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063163	A1	20040729	WO 2003-US40942	20031218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2512257	AA	20040729	CA 2003-2512257	20031218
AU 2003297471	A1	20040810	AU 2003-297471	20031218
US 2004162321	A1	20040819	US 2003-739208	20031218
EP 1583745	A1	20051012	EP 2003-815237	20031218
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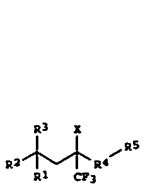
BR 2003017928	A	20051129	BR 2003-17928	20031218
CN 1735599	A	20060215	CN 2003-80108207	20031218
PRIORITY APPLN. INFO.:			US 2003-437925P	P 20030103
			US 2003-445192P	P 20030205
			WO 2003-US40942	W 20031218

OTHER SOURCE(S): MARPAT 141:140466
GI

L4 ANSWER 5 OF 7 CA COPYRIGHT 2006 ACS on STN (Continued)



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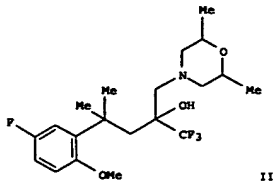


II

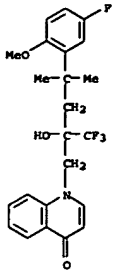
AB Title compds. I [R1 = (hetero)aryl, cycloalkyl, etc.; R2-3 = H, alkyl, arylalkyl, etc.; R4 = CO, divalent alkyl; R5 = pyrrolidine, morpholine, thiomorpholine, etc.; X = OH, amino] are prepared For instance, 2-hydroxy-4-methyl-2-trifluoromethylpent-4-enoic acid Et ester (preparation given) is alkylated with 4-fluoroanisole (AlCl3); the resulting ester is reduced to the diol (LAH), converted to the oxirane (CH2Cl2, pyridine, MsCl) and treated with 2,6-dimethylmorpholine (DMF, 100°) to give II. I are glucocorticoid receptor modulators and are useful for the treatment of inflammatory disorders.

IT 727374-91-6P, 1-[4-(5-Fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-trifluoromethylpentyl]-1H-quinolin-4-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of propanol and propylamine derivs. and their use as glucocorticoid ligands)

RN 727374-91-6 CA

CN 4(1H)-Quinolinone, 1-[4-(5-fluoro-2-methoxyphenyl)-2-hydroxy-4-methyl-2-(trifluoromethyl)pentyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CA COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 6 OF 7 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:27766 CA

TITLE: Preparation of 4-[(hetero)aryl]-2-butylamine derivatives as glucocorticoid ligands

INVENTOR(S): Thomson, David; Kuzmich, Daniel; Kirrane, Thomas M.; Proudfoot, John Robert; Razavi, Hossein

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104195	A1	20031218	WO 2003-US17172	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004010148	A1	20040115	US 2003-446355	20030528
CA 2486491	AA	20031218	CA 2003-2486491	20030529
AU 2003249669	A1	20031222	AU 2003-249669	20030529
EP 1513810	A1	20050316	EP 2003-757304	20030529
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JP 2005529165	T2	20050929	JP 2004-511265	20030529
US 2006014787	A1	20060119	US 2005-223501	20050909

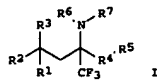
PRIORITY APPLN. INFO.:

US 2002-386334P	P	20020606
US 2003-446355	A3	20030528
WO 2003-US17172	W	20030529

OTHER SOURCE(S): MARPAT 140:27766

GI

L4 ANSWER 6 OF 7 CA COPYRIGHT 2006 ACS on STN (Continued)



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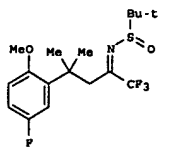

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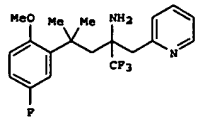


III

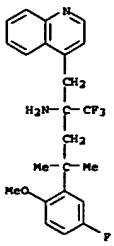
AB The title compds. [I; R1 = (un)substituted (hetero)aryl; R2, R3 = H, alkyl; or R2 and R3 together with the carbon atom to which they are attached to form spiro cycloalkyl; R4 = alkyl, alkenyl, alkynyl; R5 = (un)substituted heteroaryl; R6, R7 = H, alkyl, alkenyl, alkoxy, etc.], useful for modulating the glucocorticoid receptor function, and therefore for treating disease-states or conditions mediated by the glucocorticoid receptor function or characterized by inflammatory, allergic, or proliferative processes in a patient, were prepared and formulated. Thus, treating 2-methylpyridine with tert-BuLi in THF followed by addition of the amide II (multi-step synthesis given) afforded 25% III which have shown activity as modulator of the glucocorticoid receptor function in one or more of the described in the patent assays (no specific data given). A kit for the in vitro diagnostic determination of the glucocorticoid receptor function is claimed.

IT 634203-67-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 4-[(hetero)aryl]-2-butylamine derivs. as glucocorticoid ligands)

RN 634203-67-7 CA

CN 4-Quinolineethanamine, α-[2-(5-fluoro-2-methoxyphenyl)-2-methylpropyl]-α-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 7 CA COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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L4 ANSWER 7 OF 7 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 139:292139 CA

TITLE: Preparation of heteroarylalkanols as glucocorticoid mimetics for treatment of inflammatory, allergic, and proliferative diseases

INVENTOR(S): Bekkali, Younes; Betageri, Raj; Gilmore, Thomas A.; Cardozo, Mario G.; Kirrane, Thomas M.; Kuzmich, Daniel; Proudfoot, John Robert; Takahashi, Hidenori; Thomson, David; Wang, Ji; Zindell, Renee; Harcken, Christian Hanke Justus Joachim; Riether, Doris

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 277 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082280	A1	20031009	WO 2003-US8901	20030321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003218342	A1	20031013	AU 2003-218342	20030321
US 2004023999	A1	20040205	US 2003-394303	20030321
US 6903215	B2	20050607		
EP 1490062	A1	20041229	EP 2003-714339	20030321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008784	A	20050111	BR 2003-8784	20030321
CN 1633296	A	20050629	CN 2003-807180	20030321
JP 2005527555	T2	20050915	JP 2003-579818	20030321
US 2005059714	A1	20050317	US 2004-944615	20040917
NO 2004004031	A	20041019	NO 2004-4031	20040924
US 2005282881	A1	20051222	US 2005-185349	20050720

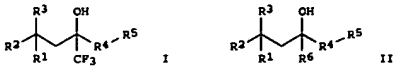
PRIORITY APPLN. INFO.:

US 2002-367758P	P	20020326
US 2002-431817P	P	20021209
US 2003-442404P	P	20030124
US 2003-394303	A1	20030321
WO 2003-US8901	W	20030321
US 2004-944615	A1	20040917

L4 ANSWER 7 OF 7 CA COPYRIGHT 2006 ACS on STN (Continued)

OTHER SOURCE(S): MARPAT 139:292139

GI



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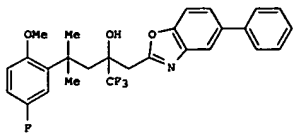


III

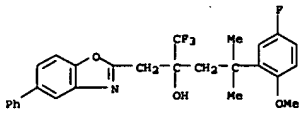
AB Title compds. I and II [wherein R1 = substituted (hetero)aryl; R2 and R3 = independently H or alkyl; or CR2R3 = cycloalkyl; R4 = (un)substituted alkyl, alkenyl, or alkynyl; R5 = substituted heteroaryl; and R6 (when present) = (un)substituted alkyl, alkenyl, alkynyl, carbocyclyl(alkyl), heterocyclyl(alkyl), (hetero)aryl(alkyl), arylhaloalkyl, carbocyclylalkenyl, heterocyclylalkenyl, or (hetero)arylalkenyl; and tautomers, prodrugs, solvates, or salts thereof] were prepared as glucocorticoid mimetics (no data). For example, 1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-one (multi-step preparation from Et trifluoropyruvate, 1-bromo-2-methylpropene, and 4-fluoroanisole given) was coupled with 2-methyl-5-phenylbenzoxazole using LDA in THF to afford III. I, II, and pharmaceutical compns. containing such compds. are useful for treating inflammatory, allergic, or proliferative disorders mediated by glucocorticoid receptor (GR) function (no data).

IT 609849-72-1P, 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methyl-2-[(5-phenylbenzoxazol-2-yl)methyl]pentan-2-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(glucocorticoid mimetic; preparation of heteroarylalkanols as GR modulators for treatment of inflammatory, allergic, and proliferative diseases)

RN 609849-72-1 CA

CN 2-Benzoxazoleethanol, α-[2-(5-fluoro-2-methoxyphenyl)-2-methylpropyl]-5-phenyl-α-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 7 CA COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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L5             0 S L3

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